

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
29 December 2004 (29.12.2004)

PCT

(10) International Publication Number  
**WO 2004/112752 A1**

- (51) International Patent Classification<sup>7</sup>: **A61K 9/16**
- (21) International Application Number:  
PCT/KR2004/001558
- (22) International Filing Date: 25 June 2004 (25.06.2004)
- (25) Filing Language: Korean
- (26) Publication Language: English
- (30) Priority Data:  
10-2003-0042249 26 June 2003 (26.06.2003) KR
- (71) Applicant (for all designated States except US): **PEP-TRON CO., LTD** [KR/KR]; 385-19 Doryong-dong, Yuseong-gu, Daejeon 305-340 (KR).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **LEE, Hee Yong** [KR/KR]; #110-1003, Sejong Apt., 462-5 Jeonmin-dong, Yuseong-gu, Daejeon 305-728 (KR). **KIM, Sung Kyu** [KR/KR]; #103-1609, Expo Apt., 464-1 Jeonmin-dong, Yuseong-gu, Daejeon 305-762 (KR). **KIM, Jung Soo** [KR/KR]; #201, 119-7 Sinseong-dong, Yuseong-gu, Daejeon 305-804 (KR). **LEE, Ji Suk** [KR/KR]; #206, 144-6 Sinseong-dong, Yuseong-gu, Daejeon 305-804 (KR). **JUNG, Young Hwan** [KR/KR]; 178-4 Jungni-dong, Daedeok-gu, Daejeon 306-825 (KR). **KIM, Jung In** [KR/KR]; #401, 161-4, Sinseong-dong, Yuseong-gu,

Daejeon 305-811 (KR). **SEO, Yun Mi** [KR/KR]; 76-70, Sameun 3-gu, Jiksan-eup, Jiksan-myeon, Cheonan-si, Chungcheongnam-do 330-816 (KR). **CHANG, Seung Gu** [KR/KR]; #211-1603, Expo Apt., Jeonmin-dong, Yuseong-gu, Daejeon 305-761 (KR). **PARK, Kee Don** [KR/KR]; #109-1706, Chonggu Narae Apt., Jeonmin-dong, Yuseong-gu, Daejeon 305-761 (KR). **CHOI, Ho Il** [KR/KR]; #107-1303, Hanul Apt., Sinseong-dong, Yuseong-gu, Daejeon 305-345 (KR).

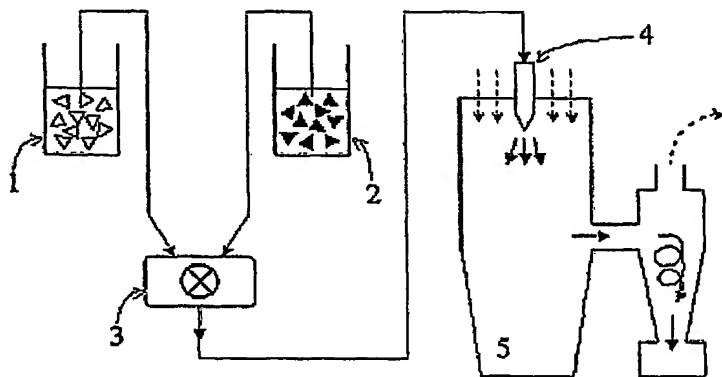
(74) Agent: **SON, Min**; 19th Floor, City Air Tower, 159-9 Samsung-dong, Kangnam-gu, Seoul 135-973 (KR).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,

[Continued on next page]

(54) Title: METHOD OF PREPARING MIXED FORMULATION OF SUSTAINED RELEASE MICROSPHERES BY CONTINUOUS ONE-STEP PROCESS



(57) Abstract: Disclosed is a method for preparing a mixed formulation of sustained release microspheres with various compositions by a continuous one-step process. The present method is characterized by preparing the mixed formulation of sustained release microspheres with different compositions by a continuous one-step process by continuously introducing the mixed fluids into a dryer from the two or more different fluids for preparation of sustained release microspheres containing a biodegradable polymer, a drug, an additive and a solvent with different types of contents or both of the components, by controlling the mixing ratios of the fluids according to the time, unlike a conventional method including spray-drying a mixture of microspheres containing a biodegradable polymer, a drug,

an additive and a solvent with a single composition. The present method can achieve a desired drug release, which is difficult to be obtained by conventional microspheres with a single composition, by preparing microspheres by a continuous one-step process, and can simplify the conventional complex process of separately preparing several microsphere formulations and then mixing the formulations at a proper ratio to achieve a desired drug release.



SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*

**Published:**

- *with international search report*
- *before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments*